

Title: Method for the screening of $\alpha_2\delta$ -1 subunit binding ligands

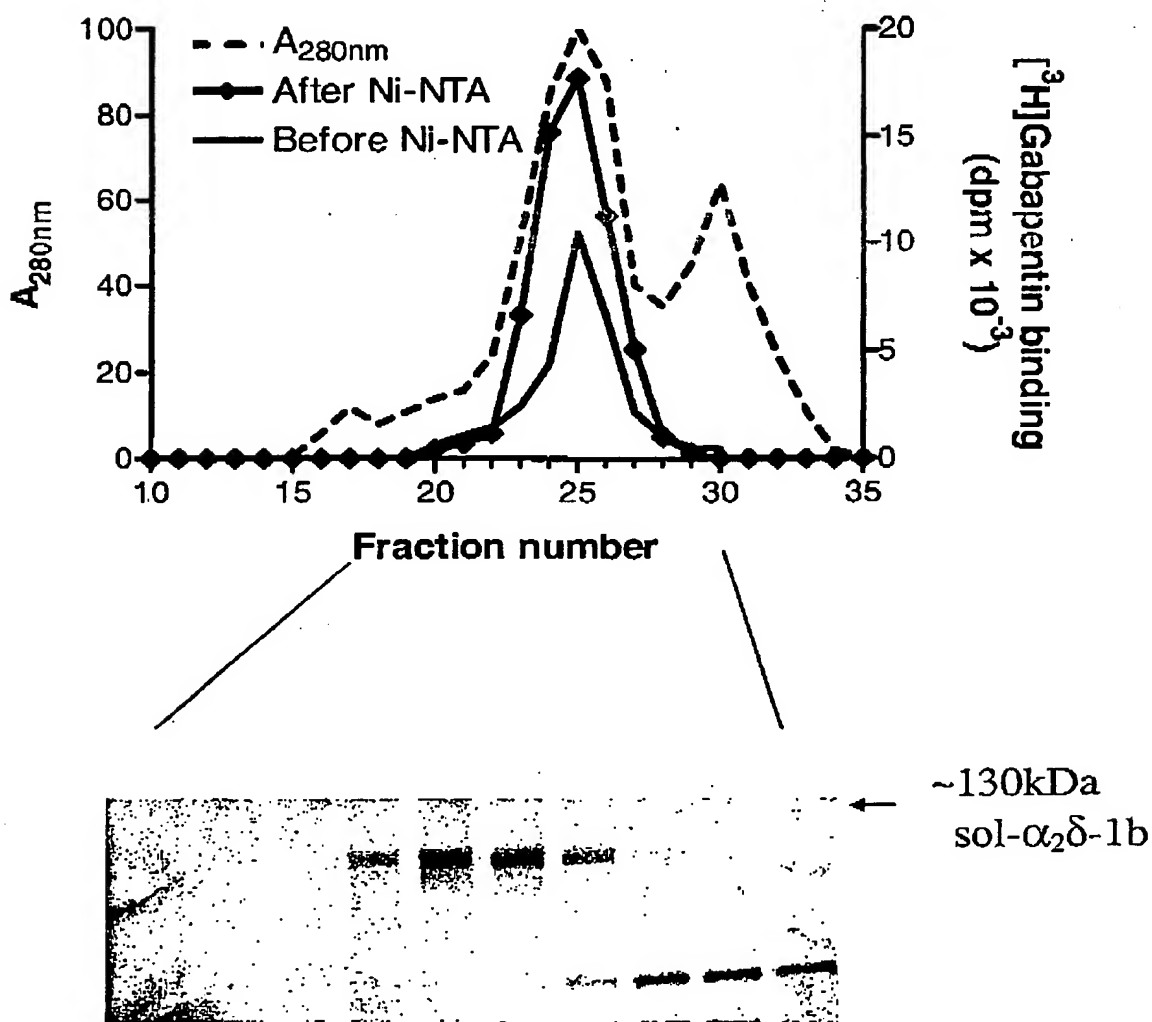
Inventor: Bertelli, Francois

Application No.: 10/090,827

Docket No.: A0000179-C1 (PC18043A)

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FIG. 1



Title: Method for the screening of $\alpha_2\delta$ -1 subunit binding ligands

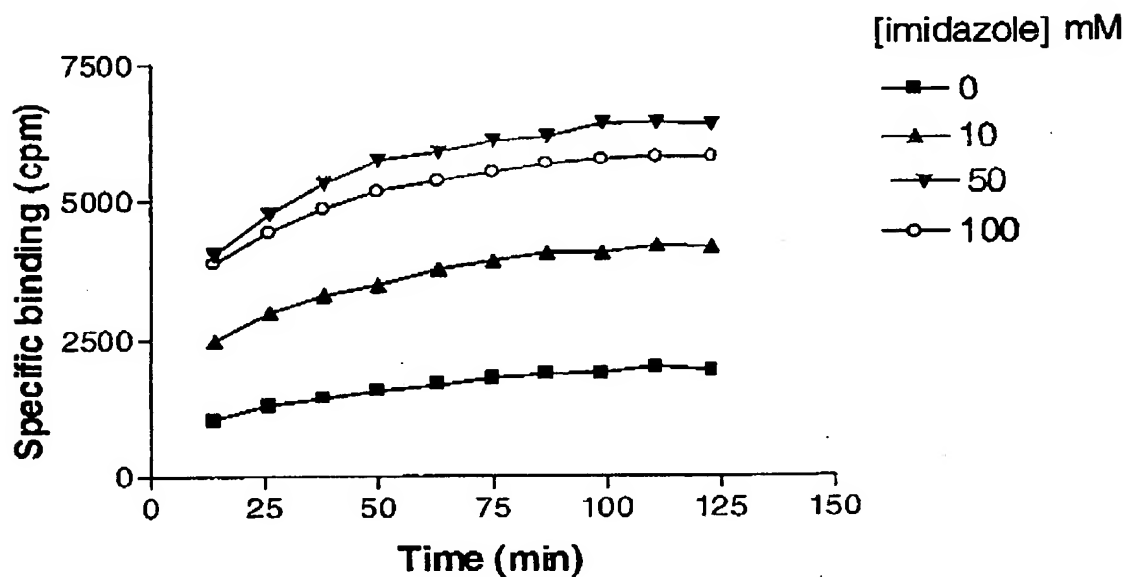
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SPA assay of [^3H]gabapentin (18.4nM) binding to s- $\alpha_2\delta$ -1b-6His (20 μl). Optimisation of Imidazole concentration in the assay.



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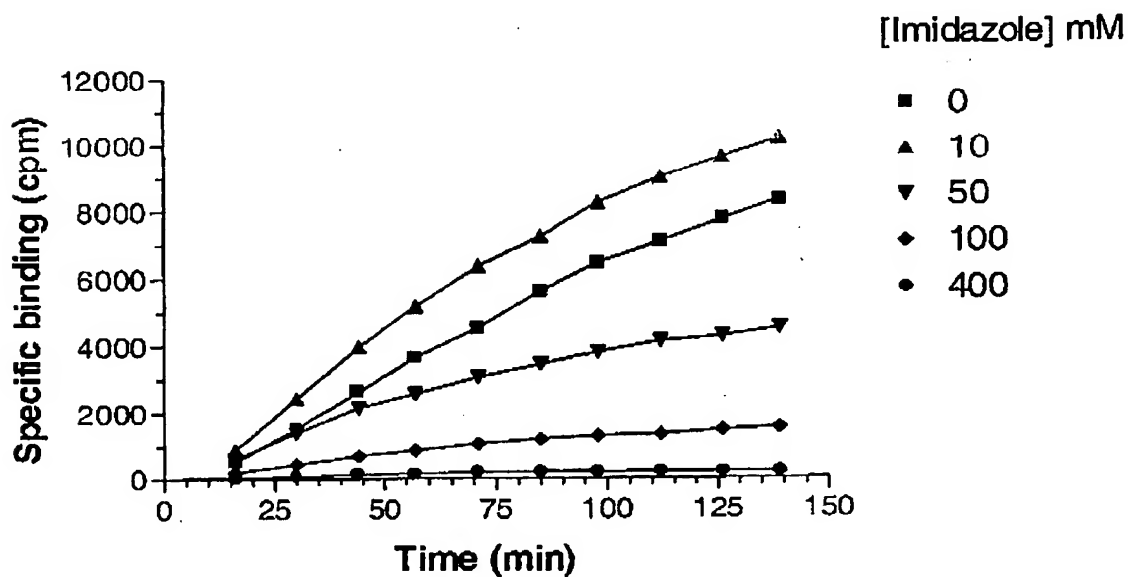
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Flashplate assay of [^3H]gabapentin (14nM) binding to s- $\alpha_2\delta$ -1b-6His (10 μl). Optimisation of Imidazole concentration in the assay.



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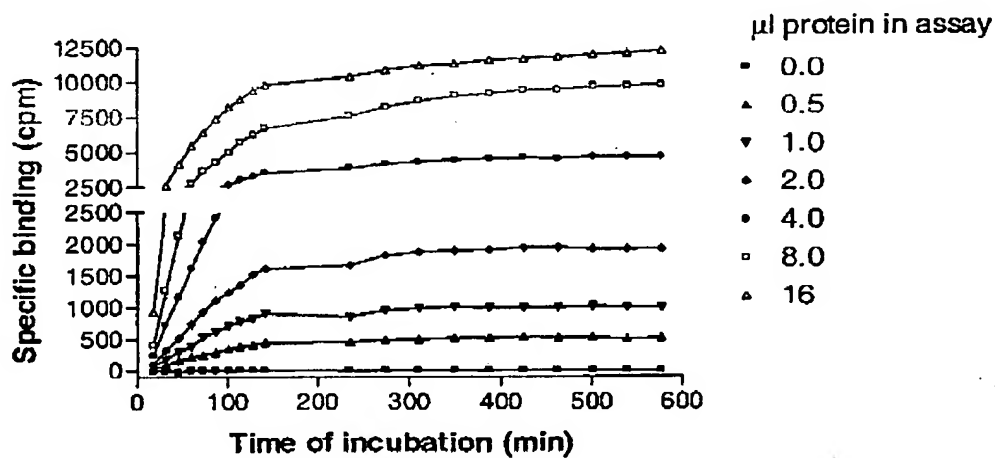
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Flashplate time course of [^3H]gabapentin (13nM) binding to various concentrations of s- $\alpha_2\delta$ -1b-6His. 10 mM imidazole in assay



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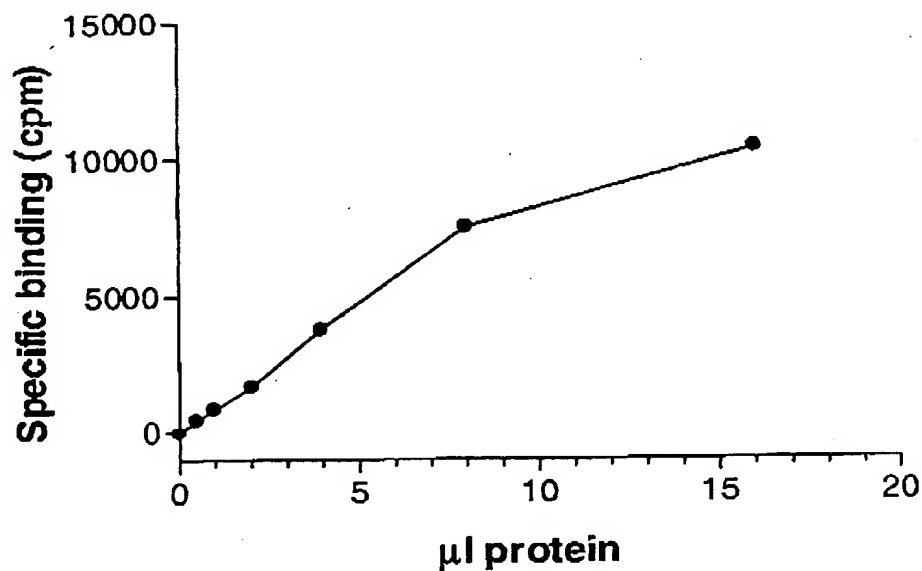
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FIG. 5

Determination of s- $\alpha_2\delta$ -1b-6His capacity of flashplate assay. Counted after 3hour incubation



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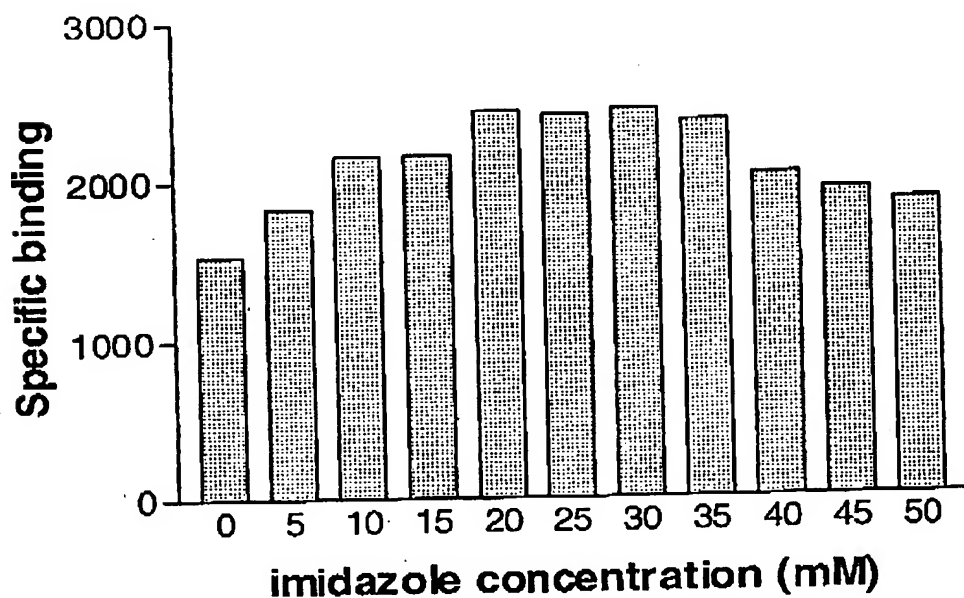
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Determination of the optimum imidazole concentration required to maximize the [^3H]gabapentin (13nM) binding window using a constant amount of purified s- $\alpha_2\delta$ -1b-6His (2 μl). Assayed after 3hour incubation.



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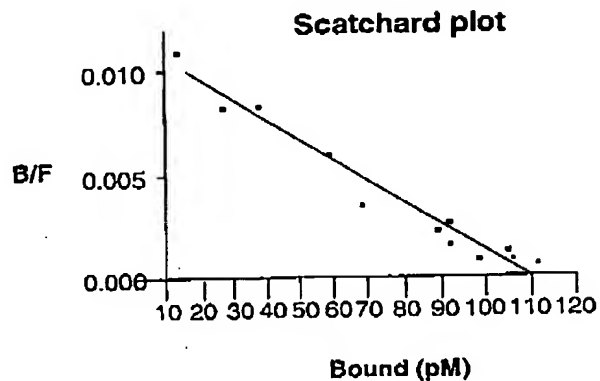
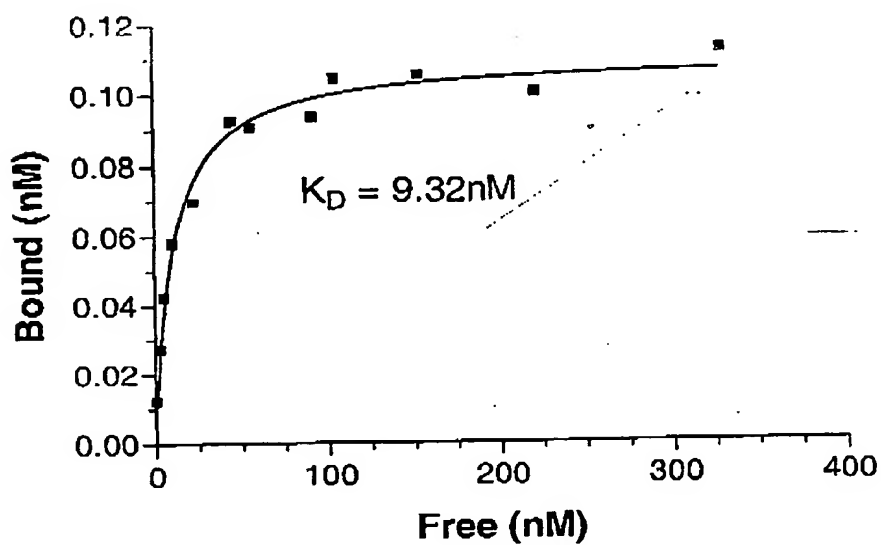
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Flashplate assay of [^3H]gabapentin saturation binding to purified s- $\alpha_2\delta$ -1b-6His. Assayed after three hour incubation (see table 1 for details).



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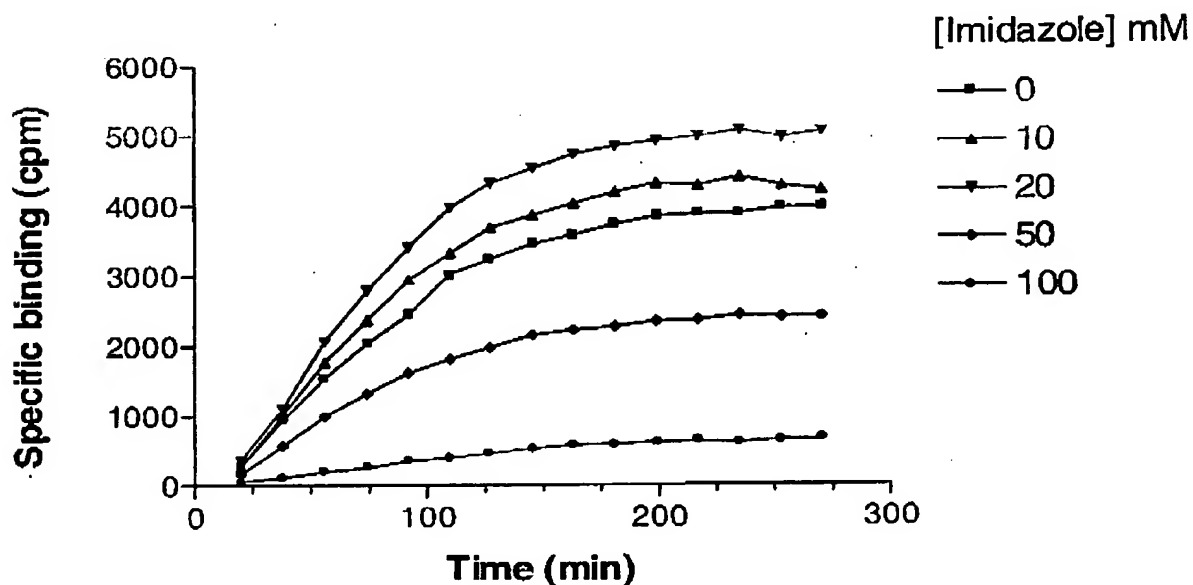
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FIG. 8

Flashplate time course optimisation of Imidazole concentration required to maximize the [^3H]Leucine (10.1nM) binding window to s- $\alpha_2\delta$ -1b-6His. Assayed after three hour incubation.



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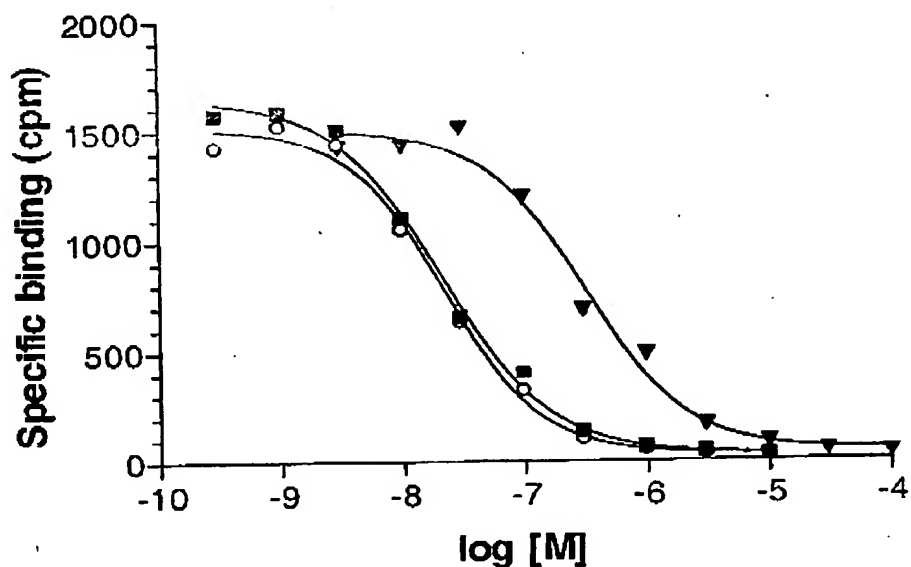
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FIG. 9

Competition curves of three compounds in the flashplate assay format (see table 2 for details). Assayed after 3 hour incubation.



- Gabapentin
- (S+)-3-isobutyl GABA
- ▼ (R)-3-isobutyl GABA

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